PAT-033739-US-PCT

Application No.: 10/599,819

AMENDMENT TOTHE CLAIMS

1. (Currently Amended) A compound of formula I, or a pharmaceutically acceptable salt or ester thereof.

$$\begin{array}{c|c}
R1 & & & & \\
X & N & & & \\
R2 & & & & \\
\end{array}$$

wherein

R1, R2 and R3 are independently selected from the group consisting of hydrogen, cyano, halo, nitro or optionally substituted (C₁₋₇ alkyl, C₂₋₇ alkyenyl, C₂₋₇ alkynyl, amino, cycloalkyl, heterocycloalkyl, aryl, heteroaryl); or substituted oxy, <u>substituted</u> carbonyl, <u>substituted</u> sulfur; or a substituent forming a bicyclic ring system of which the phenyl ring to which it is attached forms part of the bicycle;

R4 is selected from the group consisting of hydrogen, cyano, halo, nitro or optionally substituted (C_{1-7} alkyl, C_{2-7} alkyenyl, C_{2-7} alkynyl, amino, cycloalkyl, heterocycloalkyl, aryl, heteroaryl) or substituted oxy, substituted carbonyl, substituted sulfur;

X is -CH=CHCO-;

Y is $-CH_2OCH_2$ - and is bonded to the ring carbon atoms c and d;

Z is N or -CH-;

Q is -CH₂-, -NH- or -O-;

wherein when Z is N, Q is CH₂, and when Z is -CH-, Q is -NH- or -O-;

the optional substituents on R1, R2, R3 and R4 are one or more, substituents, independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro or

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optionally substituted (C₁₋₇ alkyl, C₂₋₇ alkenyl, C₂₋₇ alkynyl, aryl, heteroaryl, amino,) or substituted oxy, <u>substituted</u> sulfur, <u>substituted</u> sulfinyl, <u>substituted</u> sulfonyl; wherein the <u>optionally</u> substituted substituents are optionally substituted once or more by a substituent independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro, hydroxy, C₁₋₇ alkyl, C₂₋₇ alkyenyl, C₂₋₇ alkynyl, amino, cycloalkyl, heteroaryl, wherein oxy represents —O-; sulfur represents <u>radicals</u>

- 2. (Currently Amended) A compound of formula I as defined in claim 1 wherein R1 is an optionally substituted amino, amide, sulfonyl, sulfonamide or heterocycloalkyl group, the optional substituents being selected from the group consisting of hydrogen, oxo, cyano, halo, nitro or optionally substituted (C₁₋₇ alkyl, C₂₋₇ alkenyl, C₂₋₇ alkynyl, heteroaryl heterocycloalkyl, amino), or substituted oxy, substituted sulfur, substituted sulfinyl, substituted sulfonyl; wherein the optionally substituted substituents are optionally substituted once or more by a substituent independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro, hydroxy, C₁₋₇ alkyl, C₂₋₇ alkyenyl, C₂₋₇ alkynyl, amino, cycloalkyl, heterocyloalkyl, aryl.
- 3. (Previously Presented) A compound of formula I according to claim 1 wherein R2 is selected from the group consisting of methoxy, trifluoromethoxy, aryl, heteroaryl, C₁₋₇ alkyl.
- 4. (Currently Amended) A compound according to claim 1, having the formula II, or a pharmaceutically acceptable salt or ester thereof:

wherein

 R_1 " and R_2 " are independently selected from the group consisting of hydrogen, cyano, halo, nitro or optionally substituted (C_{1-7} alkyl, C_{2-7} alkyenyl, C_{2-7} alkynyl, amino, cycloalkyl, heterocycloalkyl, aryl, heteroaryl) or substituted oxy, substituted carbonyl, substituted sulfur; or a substituent forming a bicyclic ring system of which the phenyl ring to which it is attached forms part of the bicycle;

X" is -CH=CHCO-;

Y" is $-CH_2OCH_2$ - and is bonded to the ring carbon atoms c and d;

Z" is N or -CH-;

Q" is -CH2-, -NH- or -O-;

wherein when Z" is N, Q" is CH2, and when Z" is -CH-, Q" is -NH- or -O-;

the optional substituents on R₁" and R₂" are one or more substituents, independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro or optionally substituted (C₁₋₇ alkyl, C₂₋₇ alkenyl, C₂₋₇ alkynyl, aryl, heteroaryl, amino), or substituted oxy, substituted sulfinyl, substituted sulfinyl, substituted sulfonyl; wherein the optionally-substituted substituents are optionally substituted once or more by a substituent independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro, hydroxy, C₁₋₇ alkyl, C₂₋₇ alkyenyl, C₂₋₇ alkynyl, amino, cycloalkyl, heterocyloalkyl, aryl, heteroaryl.

5. (Currently Amended) A compound of formula la, or a pharmaceutically acceptable salt or ester thereof,

la

wherein

R₁', R₂' and R₃' are independently selected from the group consisting of hydrogen, cyano, halo, nitro or optionally substituted (C₁₋₇ alkyl, C₂₋₇ alkyenyl, C₂₋₇ alkynyl, amino, cycloalkyl, heterocycloalkyl, aryl, heteroaryl) or substituted oxy, substituted carbonyl, substituted sulfur, or a substituent forming a bicyclic ring system of which the phenyl ring to which it is attached forms part of the bicycle;

 R_4 ' is selected from the group consisting of hydrogen, cyano, halo, nitro or optionally substituted (C_{1-7} alkyl, C_{2-7} alkyenyl, C_{2-7} alkynyl, amino, cycloalkyl, heterocycloalkyl, aryl, heteroaryl) or substituted oxy, substituted carbonyl, substituted sulfur;

X' is -OCH₂CO- or -NHCH₂CO-;

Y' -CH₂OCH₂- and is bonded to the ring carbon atoms c and d;

Z' is N;

Q' is -CH₂-;

the eptional substituents on R_{1} , R_{2} , R_{3} , R_{4} being one or more substituents, independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro or optionally substituted (C_{1-7} alkyl, C_{2-7} alkenyl, C_{2-7} alkynyl, aryl, heteroaryl, amino) or substituted oxy, substituted sulfur, substituted sulfinyl, substituted sulfonyl; wherein the optionally substituted substituents are optionally substituted once or more by a substituent independently selected from the group consisting of hydrogen, oxo, cyano, halo, nitro, hydroxy, C_{1-7} alkyl, C_{2-7} alkyenyl, C_{2-7} alkynyl, amino, cycloalkyl, heterocyloalkyl, aryl, heteroaryl; wherein oxy represents $-O_{-7}$; sulfur represents $-C_{-7}$ sulfur represents $-C_{-7}$ sulfur represents $-C_{-7}$

6. (Cancelled)

7. (Currently Amended) A compound of formula I, Ia, II, as defined in according to claims 1, 4, 5 respectively, wherein the compound includes a radioisotope selected from the group of ¹¹C, ¹⁸F, ⁷⁵Br, ⁷⁶Br, ⁸⁰Br, ¹²³I, ¹²⁵I, ¹²⁸I, ¹³¹I, ¹³N, ¹⁵O.

8-10 (Cancelled)

11. (Previously Presented) A method of treating a disease selected from the group consisting of rheumatoid arthritis, multiple sclerosis, Chronic Obstructive Pulmonary Disease, psoriasis, dermatitis and uveitis, in a human_in need of such treatment which method comprises administering to said subject an effective amount of a compound according to claim 1.

12-16 (Cancelled)

- 17. (Currently Amended) A process for the preparation of a compound of formula I according to claim 1 including the step of:
- (a) condensing a compound of formula IV with a compound of formula V in the presence of a suitable amide coupling agent, to give the desired compound of formula I:

or

(b) reacting a compound of formula X with a compound of formula XII in the presence of a suitable reagent and a base to produce the desired compound of formula I:

wherein the substituents of Formulae IV, V, X, XII are as defined in Formula (I) of claim 1 for the corresponding substituents.

- 18. (Original) A process according to claim 17, further including the step of temporarily protecting any interfering reactive groups and/or then isolating the resulting compound of the invention.
- 19. (Previously Presented). The compound of claim 1 wherein R1, R2 and R3 are independently a substituent forming a bicyclic ring system of which the phenyl ring to which it is attached forms part of the bicycle; which substituent is butadiene forming napthyl, or heterobutadiene forming quinolinyl, quinoxalinyl or isoquinolinyl.

20. (Currently Amended). The compound of claim 5 wherein R1', R2' and R3' R_1 ', R_2 ' and R_3 ' are independently a substituent forming a bicyclic ring system of which the phenyl ring to which it is attached forms part of the bicycle; which substituent is butadiene forming napthyl, or heterobutadiene forming quinolinyl, quinoxalinyl or isoquinolinyl.